Cilastatin

Cat. No.: HY-A0166

CAS No.: 82009-34-5

Molecular Formula: $C_{16}H_{26}N_2O_5S$

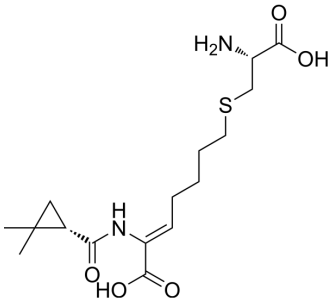
Molecular Weight: 358.45

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (278.98 mM; Need ultrasonic)

1M NaOH : 100 mg/mL (278.98 mM; ultrasonic and adjust pH to 12 with NaOH)

H_2O : 12.5 mg/mL (34.87 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7898 mL	13.9489 mL	27.8979 mL
5 mM	0.5580 mL	2.7898 mL	5.5796 mL
10 mM	0.2790 mL	1.3949 mL	2.7898 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 20 mg/mL (55.80 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (7.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.97 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cilastatin (MK0791) is a reversible, competitive renal dehydropeptidase I inhibitor with an IC_{50} of 0.1 μM. Cilastatin inhibits the bacterial metallob-lactamase enzyme CphA with an IC_{50} of 178 μM. Cilastatin is an antibacterial adjunct[1][2][3].

IC_{50} & Target	β-lactam
In Vitro	Cilastatin (200 μg/mL; 24 hours; RPTECs) treatment protects against Vancomycin-induced proximal tubule apoptosis and increases cell viability, without compromising the antimicrobial effect of Vancomycin[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Apoptosis Analysis[2] Cell Line: Renal proximal tubular epithelial cells (RPTECs) Concentration: 200 μg/mL Incubation Time: 24 hours Result: Significantly ameliorated Vancomycin-induced nuclear apoptosis.
In Vivo	In a mouse model (female mice, strain CD-1, 20 g) of systemic infection, Imipenem plus Cilastatin can protect mice from S. aureus, E. coli, and P. aeruginosa infection[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Antimicrob Agents Chemother. 2023 Nov 16:e0034623.
- Toxicon. 29 October 2022, 106960.

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REFERENCES

[1]. The renal membrane dipeptidase (dehydropeptidase I) inhibitor, cilastatin, inhibits the bacterialmetallo-beta-lactamase enzyme CphA. Antimicrob Agents Chemother. 1995 Jul;39(7):1629-31.

[2]. Blanca Humanes, et al. Protective Effects of Cilastatin Against Vancomycin-Induced Nephrotoxicity. Biomed Res Int. 2015;2015:704382.

[3]. P J Petersen, et al. In Vitro and in Vivo Activities of LJC10,627, a New Carbapenem With Stability to Dehydropeptidase I. Antimicrob Agents Chemother. 1991 Jan;35(1):203-7.

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